$$R_7O_2C$$
 S
 (XVI)

reacting the compound of formula (XVI) with a silylated R_2 - compound, in the presence of a Lewis acid, whereby said leaving group is displaced, to produce a compound of formula (XVII):

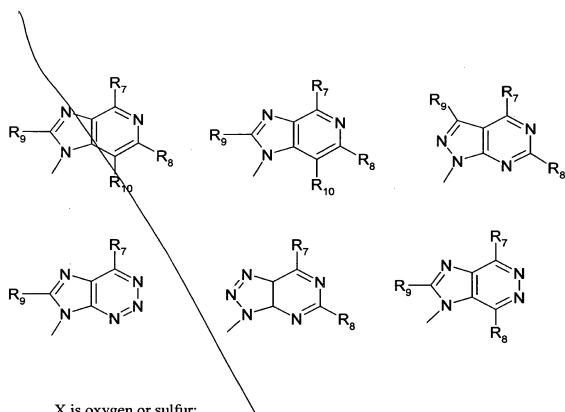
$$R_yO_2C$$
 Z
 $XVII)$

wherein

Z is S;

R₂ is selected from the following group:

$$R_3$$
 R_4 R_5 R_5 R_6 R_6 R_7 R_8 R_8



X is oxygen or sulfur;

Y is oxygen or sulfur;

R₃ and R₄ are independently selected from hydrogen, hydroxyl, amino, C₁₋₆ alkyl, C₂₋₆ alkenyl, C_{2-6} alkynyl, and C_{1-10} acyl or aracyl;

R₅ and R₆ are independently selected hydrogen, halogen, hydroxyl, amino, cyano, carboxy, carbamoyl, alkoxycarbonyl, hydroxymethyl, trifluoromethyl, thioaryl, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, and C₁₋₁₀ acyloxy;

R₇ and R₈ are independently selected from hydrogen, hydroxy, alkoxy, thiol, thioalkyl, amino, halogen, cyano, carboxy, alkoxycarbonyl, carbamoyl, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, and C₁₋₁₀ acyloxy; and

R₉ and R₁₀ are independently selected from the hydrogen, hydroxy, alkoxy, amino, halogen, azido, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, and $C_1 \setminus_{0}$ acyloxy.

36. A process comprising:

reacting a mercaptoacetaldehyde with a compound of formula R_vOOCCHO, wherein R_v is C₁₋₁₂ alkyl or C₆₋₂₀ aryl to obtain a compound of formula (XV)

$$R_yO_2C$$
 OH (XV)

converting the hydroxyl group of the compound of formula (XV) to a leaving group L to obtain a compound of formula (XVI):

5 ph

$$R_7O_2C$$
 S
 (XVI)

reacting the compound of formula (XVI) with a silylated R₂-compound, in the presence of a Lewis acid, whereby said leaving group is displaced, to produce a compound of formula (XVII):

$$R_yO_2C$$
 Z
 $(XVII)$

wherein

Z is S;

R₂ is selected from the following group:

wherein

each R₁₁ is independently selected from hydrogen, acetyl, and C₁₋₆ alkyl;

 R_{12} and R_{13} are independently selected from hydrogen, hydroxymethyl, trifluoromethyl, C_{1-6} alkyl, C_{1-6} alkenyl, bromine, chlorine, fluorine, and iodine;

 R_{14} is selected from hydrogen, cyano, carboxy, ethoxycarbonyl, carbamoyl, and thiocarbamoyl; and

each W is independently selected from hydrogen, bromine, chlorine, fluorine, iodine, amino, and hydroxyl.

- 37. A process according to claim 35, wherein L is OR_z , wherein R_z is selected from: C_{1-6} alkyl groups, aliphatic or aromatic C_{1-6} acyl groups, saturated or unsaturated alkoxycarbonyl groups, sulphonyl imidazolide, carbonyl imidazolide, aliphatic or aromatic amino carbonyl groups, alkyl imidate groups, saturated or unsaturated phosphinoyl, and aliphatic or aromatic sulphonyl groups.
- 38. A process according to claim 36, wherein L is OR_z , wherein R_z is selected from: C_{1-6} alkyl groups, aliphatic or aromatic C_{1-6} acyl groups, saturated or unsaturated alkoxycarbonyl groups, sulphonyl imidazolide, carbonyl imidazolide, aliphatic or aromatic

amino carbonyl groups, alkyl imidate groups, saturated or unsaturated phosphinoyl, and aliphatic or aromatic sulphonyl groups.

- **39.** A process according to claim 35, wherein the mercaptoacetaldehyde is a monomer obtained from 1,4-dithiane-2,5-diol dissolved in an inert solvent.
- 40. A process according to claim 39, wherein said inert solvent is selected from the group consisting of: pyridine, toluene and DMSO.
- **41.** A process according to claim 35, wherein said compound of formula RyOOCCHO is ethyl gloxylate.
- 42. A process according to claim 36, wherein the mercaptoacetaldehyde is a monomer obtained from 1,4-dithiane-2,5-diol dissolved in an inert solvent.
- 43. A process according to claim 42, wherein said inert solvent is selected from the group consisting of: pyridine, toluene and DMSO.
- **44.** A process according to claim 36, wherein said compound of formula RyOOCCHO is ethyl gloxylate.

45. A process comprising:

reacting a mercaptoacetaldehyde with a compound of formula R_y OOCCHO, wherein R_y is C_{1-12} alkyl or C_{6-20} aryl to obtain a compound of formula (XV)

50h

converting the hydroxyl of the compound of formula (XV) to a leaving group L to obtain a compound of formula (XVI):

5 uh

Br

$$R_7O_2C$$
 S
 (XVI)

converting the group R_7O_2C of the compound of formula (XVI) to a hydroxymethyl group;

protecting the resulting hydroxymethyl with a protecting function R_1 to obtain a compound of formula (XXII):

wherein R_1 is selected from the group consisting of C_{1-16} acyl, t-butyldimethylsilyl, and t-butyldiphenylsily;

reacting the compound of formula (XXII) with a silylated-R₂ compound, in the presence of a Lewis acid, whereby said leaving group is displaced, to obtain a compound of formula (XXIII):

Sub pr

$$R_yO_2C$$
 Z
 $(XXIII)$

wherein

Z is S;

R₂ is selected from the following group:

X is oxygen or sulfur;

Y is oxygen or sulfur;

 R_3 and R_4 are independently selected from hydrogen, hydroxyl, amino, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, and C_{1-10} acyl or aracyl;

 R_5 and R_6 are independently selected hydrogen, halogen, hydroxyl, amino, cyano, carboxy, carbamoyl, alkoxycarbonyl, hydroxymethyl, trifluoromethyl, thioaryl, C_{1-6} alkyl, C_{2-6} alkynyl, and C_{1-10} acyloxy;

 R_7 and R_8 are independently selected from hydrogen, hydroxy, alkoxy, thiol, thioalkyl, amino, halogen, cyano, carboxy, alkoxycarbonyl, carbamoyl, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, and C_{1-10} acyloxy; and

 R_9 and R_{10} are independently selected from the hydrogen, hydroxy, alkoxy, amino, halogen, azido, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, and C_{1-10} acyloxy; and

optionally further comprising oxidizing Z of said compound of formula (XXIII) to obtain a compound of formula (XXIII) wherein Z is S=O or SO₂.

46. A process comprising:

reacting a mercaptoacetaldehyde with a compound of formula R_y OOCCHO, wherein R_y is C_{1-12} alkyl or C_{6-20} aryl to obtain a compound of formula (XV)

$$R_yO_2C$$
 OH (XV)

converting the hydroxyl of the compound of formula (XV) to a leaving group L to obtain a compound of formula (XVI):

Sub pr

pt

50h

converting the group R_7O_2C of the compound of formula (XVI) to a hydroxymethyl group;

BY

protecting the resulting hydroxymethyl with a protecting function R_i to obtain a compound of formula (XXII):

wherein R_1 is selected from the group consisting of C_{1-16} acyl, t-butyldimethylsilyl, and t-butyldiphenylsily;

reacting the compound of formula (XXII) with a silylated-R₂ compound, in the presence of a Lewis acid, whereby said leaving group is displaced, to obtain a compound of formula (XXIII):

Sub

$$R_yO_2C$$
 Z
 $(XXIII)$

wherein

Z is S;

R₂ is selected from the following group:

50h

wherein

each R₁₁ is independently selected from hydrogen, acetyl, and C₁₋₆ alkyl;

 R_{12} and R_{13} are independently selected from hydrogen, hydroxymethyl, trifluoromethyl, C_{1-6} alkyl, C_{1-6} alkenyl, bromine, chlorine, fluorine, and iodine;

 R_{14} is selected from hydrogen, cyano, carboxy, ethoxycarbonyl, carbamoyl, and thiocarbamoyl; and

each W is independently selected from hydrogen, bromine, chlorine, fluorine, iodine, amino, and hydroxyl; and

optionally further comprising oxidizing Z of said compound of formula (XXIII) to obtain a compound of formula (XXIII) wherein Z is S=O or SO₂.

47. A process according to claim 45, further comprising the step of removing the hydroxyl protecting function R_1 from compound (XXIII) to obtain a compound of formula (I):

BI

$$HOCH_2 \xrightarrow{O} R_2$$
(I)

wherein Z is S, S=O, or SO₂, and R₂ is as defined.

- **48.** A process according to claim 47, wherein the Lewis acid is selected from the group consisting of: TMSOTf, TMSI, TiCl₄ and SnCl₄.
- 49. A process according to claim 46, further comprising the step of removing the hydroxyl protecting function R_1 from compound (XXIII) to obtain a compound of formula (I):

wherein Z is S, S=O, or SO_2 , and R_2 is as defined.

- 50. A process according to claim 49, wherein the Lewis acid is selected from the group consisting of: TMSOTf, TMSI, TiCl₄ and SnCl₄.
 - 51. A process comprising:

reacting a mercaptoacetaldehyde with a compound of formula R_y OOCCHO, wherein R_y is C_{1-12} alkyl or C_{6-20} aryl to obtain a compound of formula (XV)

$$R_yO_2C$$
 OH (XV) ;

converting the hydroxyl of the compound of formula (XV) to a leaving group L to obtain a compound of formula (XVI):

$$R_7O_2C$$
 S
 (XVI)

B

reacting the compound of formula (XVI) with a halogen-containing Lewis acid to obtain a compound of formula (XXVI):

wherein Hal is a halogen,

coupling the compound of formula (XXVI) with a silylated-R₂ compound, under basic condition, whereby said halogen is displaced to obtain a compound of formula (XVII):

$$R_yO_2C$$
 Z
 $(XVII)$

wherein

Z is S;

R₂ is

W is bromine, chlorine, fluorine, iodine, amino, or hydroxyl.

- **52.** A process according to claim 51, wherein said halogen is iodine.
- 53. A process according to claim 51, wherein said Lewis acid is trimethylsilyl iodide.
- **54.** A process according to claim 53, wherein said purine compound is 6-chloropurine.
 - 55. A process comprising:

reacting a mercaptoacetaldehyde with a compound of formula R_y OOCCHO, wherein R_y is C_{1-12} alkyl or C_{6-20} aryl to obtain a compound of formula (XV)

$$R_yO_2C$$
 OH (XV)

converting the hydroxyl of the compound of formula (XV) to a leaving group L to obtain a compound of formula (XVI):

$$R_7O_2C$$
 S
 (XVI)

reacting the compound of formula (XVI) with a silylated -R2 compound in the

presence of a Lewis acid, whereby said leaving group is displaced, to produce a compound of formula (XVII):

Sub 03

$$R_yO_2C$$
 Z
 $(XVII)$

wherein

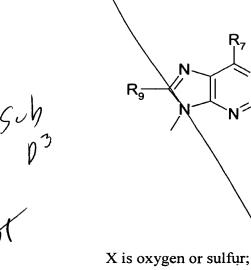
Z is S;

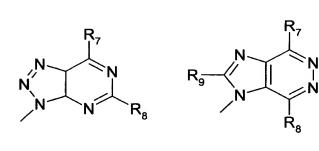
R₂ is selected from the following group:

$$R_9$$
 N
 R_{10}
 R_{10}

$$R_4$$
 N R_6

$$R_4$$
 N R_6





Y is oxygen or sulfur;

R₃ and R₄ are independently selected from hydrogen, hydroxyl, amino, C₁₋₆ alkyl, C₂₋₆ alkenyl, C_{2-6} alkynyl, and C_{1-10} acyl or aracyl;

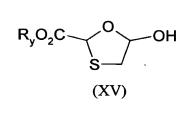
R₅ and R₆ are independently selected hydrogen, halogen, hydroxyl, amino, cyano, carboxy, carbamoyl, alkoxycarbonyl, hydroxymethyl, trifluoromethyl, thioaryl, C₁₋₆ alkyl, C₂₋₆ alkenyl, C_{2-6} alkynyl, and C_{1-10} acyloxy;

R₇ and R₈ are independently selected from hydrogen, hydroxy, alkoxy, thiol, thioalkyl, amino, halogen, cyano, carboxy, alkoxycarbonyl, carbamoyl, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, and C₁₋₁₀ acyloxy; and

R₉ and R₁₀ are independently selected from the hydrogen, hydroxy, alkoxy, amino, halogen, azido, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, and $C_{1/10}$ acyloxy.

56. A process comprising:

reacting a mercaptoacetaldehyde with a compound of formula R_vOOCCHO, wherein R_v is C₁₋₁₂ alkyl or C₆₋₂₀ aryl to obtain a compound of formula (XV)



50h

converting the hydroxyl of the compound of formula (XV) to a leaving group L to obtain a compound of formula (XVI):

$$R_7O_2C$$
 S
 (XVI)

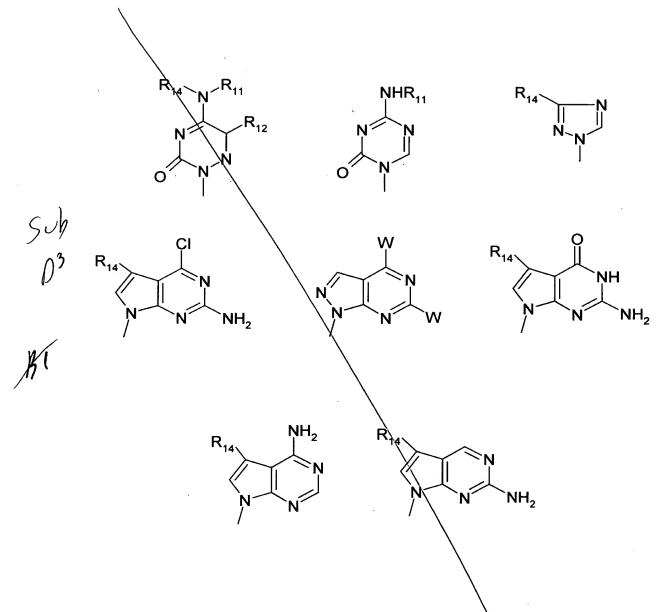
reacting the compound of formula (XVI) with a silylated -R₂ compound in the presence of a Lewis acid, whereby said leaving group is displaced, to produce a compound of formula (XVII):

$$R_7O_2C$$
 Z
 $(XVII)$

wherein

Z is S;

R₂ is selected from the following group:



each R₁₁ is independently selected from hydrogen, acetyl, and C₁₋₆ alkyl;

 R_{12} and R_{13} are independently selected from hydrogen, hydroxymethyl, trifluoromethyl, C_{1-6} alkyl, C_{1-6} alkenyl, bromine, chlorine, fluorine, and iodine;

R₁₄ is selected from hydrogen, cyano, carboxy, ethoxycarbonyl, carbamoyl, and thiocarbamoyl; and

each W is independently selected from hydrogen, bromine, chlorine, fluorine, iodine, amino, and hydroxyl.

- 57. A process according to claim 55, further comprising oxidizing Z of the compound of formula (XVII) to give a compound of formula (XVII) wherein Z is S=O or SO₂.
- 58. A process according to claim 55, wherein the Lewis acid is selected from the group consisting of: TMSOTf, TMSI, TiCl₄ and SnCl₄.
- 59. A process according to claim 55, further comprising optionally oxidizing Z of the compound of formula (XVII) to give a compound of formula XVII wherein Z is S=O or SO₂ and

reducing the R_yO_2C group of the compound of formula (XVII) to obtain a compound of formula (I):

BI

wherein:

Z is selected from the group consisting of S, S=O and SO₂.

- 60. A process according to claim 56, further comprising oxidizing Z of the compound of formula (XVII) to give a compound of formula (XVII) wherein Z is S=O or SO₂.
- 61. A process according to claim 56, wherein the Lewis acid is selected from the group consisting of: TMSOTf, TMSI, TiCl₄ and SnCl₄.
- 62. A process according to claim 56, further comprising optionally oxidizing Z of the compound of formula (XVII) to give a compound of formula XVII wherein Z is S=O or SO₂ and

reducing the R_yO_2C group of the compound of formula (XVII) to obtain a compound of formula (I):

wherein:

Z is selected from the group consisting of S, S=O and SO_2 .

63. A process comprising:

reacting a mercaptoacetaldehyde with a compound of formula R_wOCH_2CHO , under neutral or basic conditions, wherein R_w is hydrogen or a hydroxyl protecting group to obtain a compound of formula (XIII)

converting the hydroxyl of the compound of formula (XIII) to a leaving group L to obtain a compound of formula (XIV):

reacting the compound of formula (XIV) with a silylated purine or pyrimidine base or derivative thereof R₂, in the presence of a Lewis acid, said leaving group is displaced, to produce a compound of formula (IX):

wherein

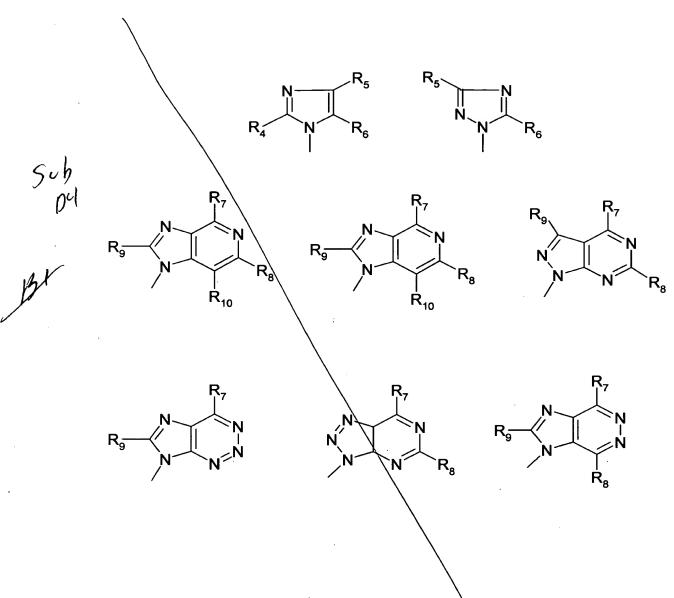
Z is S, and

R₂ is selected from the following group:

$$R_5$$
 R_5
 R_6
 R_6
 R_6
 R_6

gg (

50h



X is oxygen or sulfur; Y is oxygen or sulfur;

 R_3 and R_4 are independently selected from the group consisting of hydrogen, hydroxyl, amino, substituted or unsubstituted C_{1-6} alkyl or C_{2-6} alkenyl or C_{2-6} alkynyl, and substituted or unsubstituted C_{1-10} acyl or aracyl;

 R_5 and R_6 are independently selected from the group consisting of hydrogen, halogen, hydroxyl, amino, cyano, carboxy, carbamoyl, alkoxycarbonyl, hydroxymethyl, trifluoromethyl, thioaryl, substituted or unsubstituted C_{1-6} alkyl or C_{2-6} alkenyl or C_{2-6} alkynyl, and substituted or unsubstituted C_{1-10} acyloxy;

 R_3 and R_8 are independently selected from the group consisting of hydrogen, hydroxy, alkoxy, thiol, thioalkyl, amino, substituted amino, halogen, cyano, carboxy, alkoxycarbonyl, carbamoyl, substituted or unsubstituted C_{1-6} alkyl, or C_{2-6} alkenyl, or C_{2-6} alkynyl, and substituted or unsubstituted C_{1-10} acyloxy; and

 R_9 and R_{10} are independently selected from the group consisting of hydrogen, hydroxy, alkoxy, amino, substituted amino, halogen, azido, substituted or unsubstituted C_{1-6} alkyl or C_{2-6} alkenyl or C_{2-6} alkynyl, and substituted or unsubstituted C_{1-10} acyloxy+ and

optionally further comprising oxidizing Z of said compound of formula (IX) to obtain a compound of formula (IX) wherein Z is S=O or SO₂.

64. A process comprising

reacting a mercaptoacetaldehyde with a compound of formula R_wOCH_2CHO , under neutral or basic conditions, wherein R_w is hydrogen or a hydroxyl protecting group to obtain a compound of formula (XIII)

converting the hydroxyl of the compound of formula (XIII) to a leaving group L to obtain a compound of formula (XIV):

reacting the compound of formula (XIV) with a silylated purine or pyrimidine base or derivative thereof R_2 , in the presence of a Lewis acid, said leaving group is displaced, to produce a compound of formula (IX):

50h

pr

wherein

Z is S, and

R₂ is selected from the following gtroup:

50h

wherein

each R₁₁ is independently selected from hydrogen, acetyl, and C₁₋₆ alkyl groups;

 R_{12} and R_{13} are independently selected from hydrogen, hydroxymethyl, trifluoromethyl, substituted or unsubstituted C_{1-6} alkyl or alkenyl, bromine, chlorine, fluorine, and iodine;

 R_{14} is selected from hydrogen, cyano, carboxy, ethoxycarbonyl, carbamoyl, and thiocarbamoyl; and

each W is independently selected from hydrogen, bromine, chlorine, fluorine, iodine, amino, and hydroxyl groups.

- 65. A process according to claim 63, wherein L is OR_z , wherein R_z is selected from: C_{1-6} alkyl groups, aliphatic or aromatic C_{1-6} acyl groups, saturated or unsaturated alkoxycarbonyl groups, sulphonyl imidazolide, carbonyl imidazolide, aliphatic or aromatic amino carbonyl groups, alkyl imidate groups, saturated or unsaturated phosphinoyl, and aliphatic or aromatic sulphonyl groups.
- **66.** A process according to claim 64, wherein L is OR_z , wherein R_z is selected from: C_{1-6} alkyl groups, aliphatic or aromatic C_{1-6} acyl groups, saturated or unsaturated alkoxycarbonyl groups, sulphonyl imidazolide, carbonyl imidazolide, aliphatic or aromatic amino carbonyl groups, alkyl imidate groups, saturated or unsaturated phosphinoyl, and aliphatic or aromatic sulphonyl groups.
 - 67. A process according to claim 63, wherein the mercaptoacetaldehyde is a

monomer obtained from 1,4-dithiane-2,5-diol dissolved in an inert solvent.

- **68.** A process according to claim 67, wherein said inert solvent is selected from pyridine, toluene and DMSO.
- 69. A process according to claim 63, further comprising oxidizing the sulfur of the compound of formula (IX) to give a compound of formula (IX) wherein Z is S=O or SO₂.
- **70.** A process according to claim 64, wherein the mercaptoacetaldehyde is a monomer obtained from 1,4-dithiane-2,5-diol dissolved in an inert solvent.
- 71. A process according to claim 70, wherein said inert solvent is selected from pyridine, toluene and DMSO.
- 72. A process according to claim 64, further comprising oxidizing the sulfur of the compound of formula (IX) to give a compound of formula (IX) wherein Z is S=O or SO₂.
- 73. A compound selected from the group consisting of:
 trans-2-hydroxymethyl-5-acetoxy-1, 3-oxathiolane;
 cis-2-benzoyloxymethyl-5-hydroxy-1,3-oxathiolane,
 trans-2-benzoyloxymethyl-5-hydroxy-1,3-oxathiolane and mixtures thereof;
 cis-2-benzoyloxymethyl-5-(4',5'-dichlorobenzoyloxy)-1,3-oxathiolane, trans-2benzoyloxymethyl-5-(4',5'-dichlorobenzoyloxy)-1,3-oxathiolane and mixtures thereof;
 cis-2-benzoyloxymethyl-5-trimethylacetoxy-1,3-oxathiolane, trans-2-benzoyloxymethyl-5trimethylacetoxy-1,3-oxathiolane and mixtures thereof;
 cis-2-benzoyloxymethyl-5-(2', 2', 2'-trichloroethoxycarbonyloxy)-1,3-oxathiolane, trans-2benzoyloxymethyl-5-(2', 2', 2'-trichloroethoxycarbonyloxy)-1, 3-oxathiolane and mixtures thereof;
 cis-2-benzoyloxymethyl-5-ethoxycarbonyloxy-1, 3-oxathiolane, trans-2- benzoyloxymethyl-5-ethoxycarbonyloxy-1, 3-oxathiolane and mixtures thereof;

BI

cis-2-carboethoxy-5-methoxycarbonyloxy-1, 3-oxathiolane, trans-2-carboethoxy-5-methoxycarbonyloxy-1, 3-oxathiolane and mixtures thereof; cis-2-carboethoxy-5-acetoxy-1, 3-oxathiolane, trans-2-carboethoxy-5-acetoxy-1, 3-oxathiolane and mixtures thereof; cis-2-carboethoxy-5-(N4'-acetylcytosin-1'-yl) -1, 3-oxathiolane; cis-2-carboethoxy-5-(uracil-1'-yl) -1, 3-oxathiolane; cis-benzoyloxymethyl-5-(cytosin-1'-yl)-1, 3-oxathiolane; cis-ethyl-5-iodo-1, 3-oxathiolan-2-carboxylate, trans-ethyl-5-iodo-1, 3-oxathiolan-2-carboxylate and mixtures thereof; cis-ethyl-5-(6'-chloropurin-9'-yl)-1, 3-oxathiolan-2-carboxylate, trans-ethyl-5-(6'-chloropurin-9'-yl)-1, 3-oxathiolan-2-carboxylate, trans-ethyl-5-(6'-chloropurin-7'-yl)-1, 3-oxathiolan-2-carboxylate, trans-ethyl-5-(6'-chloropurin-7'-yl)-1, 3-oxathiolan-2-carboxylate and mixtures thereof.